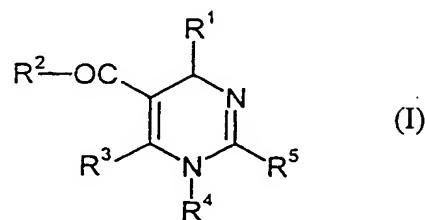
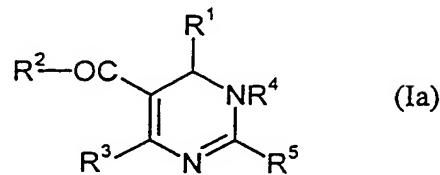


Patent claims

## 1. Compounds of the general formula (I)

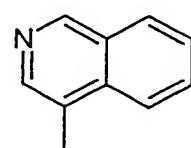
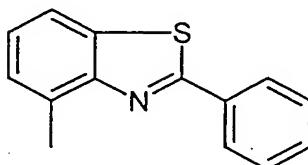


or their isomeric form (Ia)

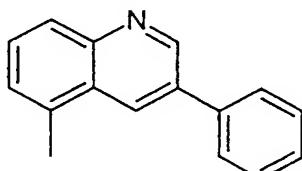


in which

$\text{R}^1$  represents phenyl, furyl, thienyl, triazolyl, pyridyl, cycloalkyl having 3 to 6 carbon atoms or represents radicals of the formulae



or



where the abovementioned ring systems are optionally mono- or polysubstituted by identical or different substituents selected from the

group consisting of halogen, trifluoromethyl, nitro, cyano, trifluoromethoxy, carboxyl, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl and (C<sub>1</sub>-C<sub>6</sub>)-alkyl, which for its part may be substituted by aryl having 6 to 10 carbon atoms or halogen,

and/or the ring systems mentioned are optionally substituted by groups of the formulae -S-R<sup>6</sup>, NR<sup>7</sup>R<sup>8</sup>, CO-NR<sup>9</sup>R<sup>10</sup>, SO<sub>2</sub>-CF<sub>3</sub> and -A-CH<sub>2</sub>-R<sup>11</sup>,

in which

R<sup>6</sup> represents phenyl which is optionally substituted by halogen,

R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are identical or different, and each represents hydrogen, phenyl, hydroxyl-substituted phenyl, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-acyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl, which for its part may be substituted by hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, phenyl or hydroxyl-substituted phenyl,

A represents a radical O, S, SO or SO<sub>2</sub>,

R<sup>11</sup> represents phenyl which is optionally mono- to polysubstituted by identical or different substituents selected from the group consisting of halogen, nitro, trifluoromethyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl and (C<sub>1</sub>-C<sub>6</sub>)-alkoxy,

R<sup>2</sup> represents a radical of the formula -XR<sup>12</sup> or -NR<sup>13</sup>R<sup>14</sup>,

in which

X represents a bond or oxygen,

$R^{12}$  represents hydrogen, straight-chain or branched ( $C_1-C_6$ )-alkoxycarbonyl or a straight-chain, branched or cyclic saturated or unsaturated ( $C_1-C_8$ )-hydrocarbon radical which optionally contains one or two identical or different hetero chain members from the group consisting of O, CO, NH, -NH- $(C_1-C_4)$ -alkyl, -N- $((C_1-C_4)$ -alkyl)<sub>2</sub>, S and SO<sub>2</sub> and which is optionally substituted by halogen, nitro, cyano, hydroxyl, aryl having 6 to 10 carbon atoms or aralkyl having 6 to 10 carbon atoms, heteroaryl or a group of the formula -NR<sup>15</sup>R<sup>16</sup>,

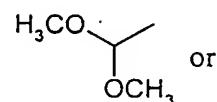
in which

R<sup>15</sup> and R<sup>16</sup> are identical or different, and each represents hydrogen, benzyl or ( $C_1-C_6$ )-alkyl,

R<sup>13</sup> and R<sup>14</sup> are identical or different, and each represents hydrogen, ( $C_1-C_6$ )-alkyl or cycloalkyl having 3 to 6 carbon atoms,

$R^3$  represents hydrogen, amino or

represents a radical of the formula



or

represents formyl, cyano, trifluoromethyl or pyridyl, or

represents a straight-chain, branched or cyclic saturated or unsaturated hydrocarbon radical having up to 8 carbon atoms which is optionally mono- or polysubstituted by identical or different substituents from the group consisting of aryloxy having 6 to 10 carbon atoms, azido, cyano, hydroxyl, carboxyl, ( $C_1-C_6$ )-alkoxycarbonyl, a 5- to 7-membered heterocyclic ring, ( $C_1-C_6$ )-alkylthio and ( $C_1-C_6$ )-alkoxy, which for its part may be substituted by azido or amino,

and/or is substituted by triazolyl, which for its part may be substituted up to 3 times by (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl,

and/or may be substituted by groups of the formulae -OSO<sub>2</sub>-CH<sub>3</sub> or (CO)<sub>a</sub>-NR<sup>17</sup>R<sup>18</sup>,

in which

a represents a number 0 or 1,

R<sup>17</sup> and R<sup>18</sup> are identical or different, and each represents hydrogen or aryl, aralkyl having 6 to 10 carbon atoms,

or represents (C<sub>1</sub>-C<sub>6</sub>)-alkyl which is optionally substituted by (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, hydroxyl, phenyl or benzyl, where phenyl or benzyl are optionally mono- or polysubstituted by identical or different substituents from the group consisting of hydroxyl, carboxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl and (C<sub>1</sub>-C<sub>6</sub>)-alkoxy,

or (C<sub>1</sub>-C<sub>6</sub>)-alkyl is optionally substituted by groups of the formulae NH-CO-CH<sub>3</sub> or NH-CO-CF<sub>3</sub>,

or

R<sup>17</sup> and R<sup>18</sup> together with the nitrogen atom form a morpholine, piperidinyl or pyrrolidinyl ring,

or

R<sup>3</sup> represents phenyl which is optionally substituted by methoxy,

or

$R^2$  and  $R^3$  together form a radical of the formula  $-\text{O}\backslash\text{---}$ ,

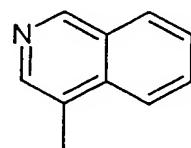
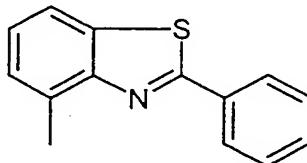
$R^4$  represents hydrogen, ( $C_1$ - $C_4$ )-alkyl, ( $C_2$ - $C_4$ )-alkenyl, benzoyl or represents acyl having 2 to 6 carbon atoms,

$R^5$  represents pyridyl which is substituted up to 3 times by identical or different substituents from the group consisting of halogen, hydroxyl, cyano, trifluoromethyl, ( $C_1$ - $C_6$ )-alkoxy, ( $C_1$ - $C_6$ )-alkyl, ( $C_1$ - $C_6$ )-alkylthio, carbalkoxy, ( $C_1$ - $C_6$ )-acyloxy, amino, nitro, mono- and ( $C_1$ - $C_6$ )-dialkylamino,

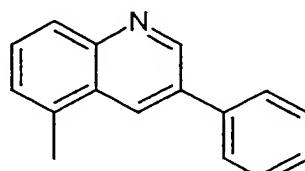
and salts thereof.

2. Compounds of the general formulae (I) and (Ia) according to Claim 1, in which

$R^1$  represents phenyl, furyl, thienyl, pyridyl, cyclopentyl or cyclohexyl or represents radicals of the formulae



or



where the abovementioned ring systems are optionally mono- or disubstituted by identical or different substituents selected from the group consisting of halogen, trifluoromethyl, nitro,  $\text{SO}_2\text{-CF}_3$ , methyl, cyano, amino, trifluoromethoxy, hydroxyl, carboxyl, methoxycarbonyl

and radicals of the formulae -CO-NH-CH<sub>2</sub>-C(CH<sub>3</sub>)<sub>3</sub>, -CO-NH(CH<sub>2</sub>)<sub>2</sub>OH, -CO-NH-CH<sub>2</sub>-C<sub>6</sub>H<sub>5</sub>, -CO-NH-C<sub>6</sub>H<sub>5</sub>, -CO-NH-(pOH)-C<sub>6</sub>H<sub>4</sub>, -O-CH<sub>2</sub>-C<sub>6</sub>H<sub>5</sub> or -S-pCl-C<sub>6</sub>H<sub>4</sub>,

R<sup>2</sup> represents a radical of the formula -XR<sup>12</sup> or -NR<sup>13</sup>R<sup>14</sup>,

in which

X represents a bond or an oxygen atom,

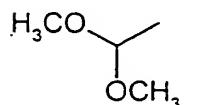
R<sup>12</sup> represents hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkenyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxycarbonyl or (C<sub>1</sub>-C<sub>4</sub>)-alkyl which are optionally substituted by pyridyl, cyano, phenoxy, benzyl or by a radical of the formula -NR<sup>15</sup>R<sup>16</sup>,

in which

R<sup>15</sup> and R<sup>16</sup> are identical or different, and each represents hydrogen, benzyl or (C<sub>1</sub>-C<sub>4</sub>)-alkyl,

R<sup>13</sup> and R<sup>14</sup> are identical or different, and each represents hydrogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl or cyclopropyl,

R<sup>3</sup> represents hydrogen, amino or a radical of the formula



or

represents formyl, cyano, trifluoromethyl, cyclopropyl or pyridyl, or

represents  $(C_1-C_4)$ -alkyl which is optionally substituted by halogen,  $(C_1-C_4)$ -alkoxycarbonyl, hydroxyl or by triazolyl, which for its part may be substituted up to 3 times by  $(C_1-C_4)$ -alkoxycarbonyl,

and/or alkyl is optionally substituted by groups of the formulae  $-OSO_2-CH_3$  or  $(CO)_a-NR^{17}R^{18}$ ,  
in which

a represents a number 0 or 1,

$R^{17}$  and  $R^{18}$  are identical or different, and each represents hydrogen, phenyl or benzyl, or

represents  $C_1-C_4$ -alkyl which is optionally substituted by  $(C_1-C_4)$ -alkoxycarbonyl, hydroxyl, phenyl or benzyl, where phenyl or benzyl are optionally mono- or polysubstituted by identical or different substituents from the group consisting of hydroxyl, carboxyl,  $(C_1-C_4)$ -alkyl and  $(C_1-C_4)$ -alkoxy, and/or  $(C_1-C_4)$ -alkyl is optionally substituted by radicals of the formulae  $-NH-CO-CH_3$  or  $-NH-CO-CF_3$ ,

or

$R^{17}$  and  $R^{18}$  together with the nitrogen atom form a morpholine, piperidinyl or pyrrolidinyl ring,

or

$R^3$  represents phenyl which is optionally substituted by methoxy,

or

$R^2$  and  $R^3$  together form a radical of the formula  $-\text{O}\backslash\text{---}\backslash-$ ,

$R^4$  represents hydrogen, methyl, benzoyl or acetyl,

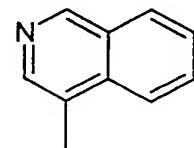
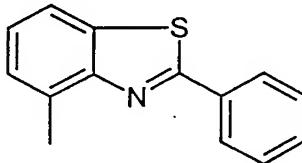
$R^5$  represents pyridyl which is substituted up to 2 times by identical or different substituents from the group consisting of fluorine, chlorine, bromine,  $(C_1\text{-}C_4)$ -alkoxy and  $(C_1\text{-}C_4)$ -alkyl,

and salts thereof.

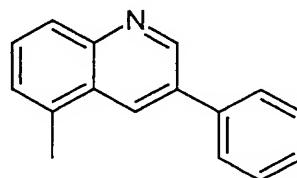
3. Compounds of the general formulae (I) and (Ia) according to Claim 1

in which

$R^1$  represents phenyl, furyl, thienyl, pyridyl, cyclopentyl, cyclohexyl or represents radicals of the formulae



or



where the abovementioned ring systems are optionally substituted up to 2 times by identical or different substituents selected from the group consisting of fluorine, chlorine, bromine, iodine, hydroxyl, trifluoromethyl, amino, nitro,  $\text{SO}_2\text{-CF}_3$ , methyl, cyano, trifluoromethoxy, carboxyl, methoxycarbonyl and radicals of the formulae  $-\text{CO-NH-}$

$\text{CH}_2\text{-C(CH}_3)_3$ ,  $-\text{CO-NH(CH}_2)_2\text{OH}$ ,  $-\text{CO-NH-CH}_2\text{-C}_6\text{H}_5$ ,  $-\text{CO-NH-C}_6\text{H}_5$ ,  
 $-\text{CO-NH-(pOH)-C}_6\text{H}_4$ ,  $-\text{O-CH}_2\text{-C}_6\text{H}_5$  or  $-\text{S-pCl-C}_6\text{H}_4$ ,

$\text{R}^2$  represents a radical of the formula  $-\text{XR}^{12}$  or  $-\text{NR}^{13}\text{R}^{14}$ ,  
in which

X represents a bond or an oxygen atom,

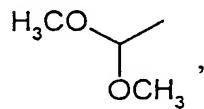
$\text{R}^{12}$  represents hydrogen,  $(\text{C}_1\text{-C}_3)$ -alkenyl,  $(\text{C}_1\text{-C}_4)$ -alkoxycarbonyl or  $(\text{C}_1\text{-C}_4)$ -alkyl which are optionally substituted by pyridyl, cyano, phenoxy, benzyl or by a radical of the formula  $-\text{NR}^{15}\text{R}^{16}$ ,

in which

$\text{R}^{15}$  and  $\text{R}^{16}$  are identical or different, and each represents hydrogen or methyl,

$\text{R}^{13}$  and  $\text{R}^{14}$  are identical or different, and each represents hydrogen,  $(\text{C}_1\text{-C}_3)$ -alkyl or cyclopropyl,

$\text{R}^3$  represents hydrogen, amino or represents a radical of the formula



or

represents formyl, cyano, trifluoromethyl, cyclopropyl or pyridyl, or

represents (C<sub>1</sub>-C<sub>4</sub>)-alkyl which is optionally substituted by fluorine, chlorine, (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonyl, hydroxyl or by triazolyl, which for its part may be substituted up to 3 times by (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonyl, and/or alkyl is optionally substituted by groups of the formulae -OSO<sub>2</sub>-CH<sub>3</sub> or (CO)<sub>a</sub>-NR<sup>17</sup>R<sup>18</sup>,

in which

a represents a number 0 or 1,

R<sup>17</sup> and R<sup>18</sup> are identical or different, and each represents hydrogen, phenyl or benzyl, or

represents (C<sub>1</sub>-C<sub>3</sub>)-alkyl which is optionally substituted by (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonyl, hydroxyl, phenyl or benzyl, where phenyl or benzyl are optionally mono- or disubstituted by identical or different substituents from the group consisting of hydroxyl, carboxyl, (C<sub>1</sub>-C<sub>3</sub>)-alkyl and (C<sub>1</sub>-C<sub>3</sub>)-alkoxy, and/or (C<sub>1</sub>-C<sub>4</sub>)-alkyl is optionally substituted by radicals of the formulae -NH-CO-CH<sub>3</sub> or -NH-CO-CF<sub>3</sub>,

or

R<sup>17</sup> and R<sup>18</sup> together with the nitrogen atom form a morpholine, piperidinyl or pyrrolidinyl ring,

or

R<sup>3</sup> represents phenyl which is optionally substituted by methoxy,

or

$R^2$  and  $R^3$  together form a radical of the formula  $-\text{O}\backslash\diagup\backslash\diagdown-$ ,

$R^4$  represents hydrogen, methyl, benzoyl or acetyl,

$R^5$  represents pyridyl which is substituted up to 2 times by identical or different substituents from the group consisting of fluorine, chlorine,  $(C_1\text{-}C_3)$ -alkoxy and  $(C_1\text{-}C_3)$ -alkyl,

and salts thereof.

4. Compounds of the general formulae (I) and (Ia) according to Claim 1,

in which

$R^1$  represents phenyl which is optionally substituted up to 2 times by identical or different substituents from the group consisting of fluorine, chlorine, bromine, iodine, methyl and nitro,

$R^2$  represents  $-\text{XR}^{12}$  in which X represents oxygen and  $R^{12}$  represents straight-chain or branched alkyl having up to 4 carbon atoms,

$R^3$  represents methyl, ethyl or cyclopropyl,

or

$R^2$  and  $R^3$  together form a radical of the formula  $-\text{O}\backslash\diagup\backslash\diagdown-$ ,

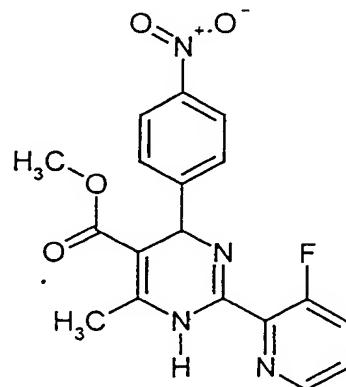
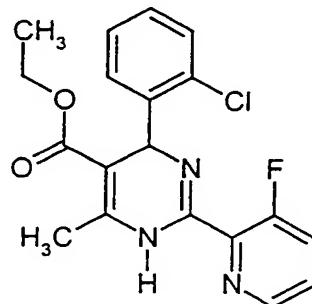
$R^4$  represents hydrogen, or acetyl,

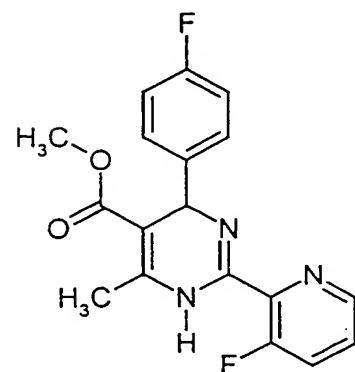
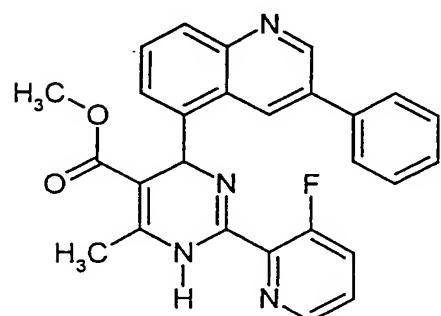
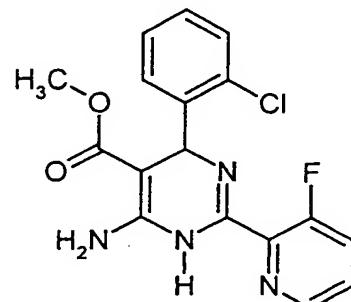
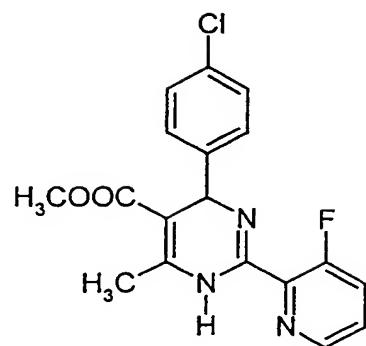
and

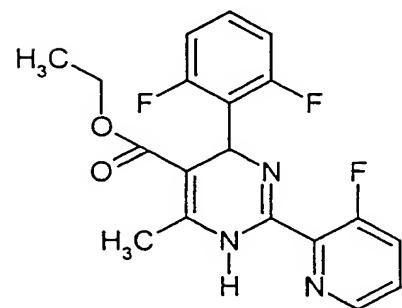
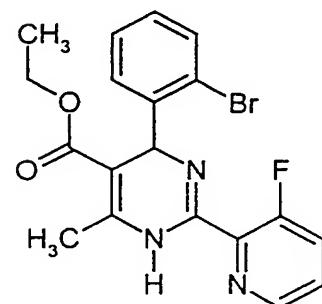
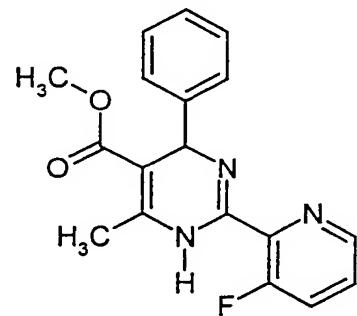
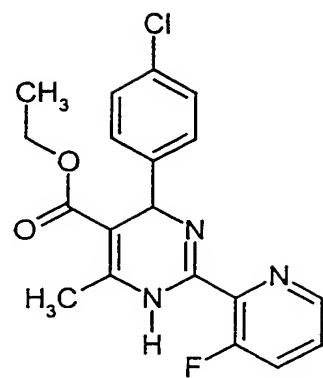
$R^5$  represents pyridyl which is substituted up to two times by identical or different substituents from the group consisting of fluorine and chlorine,

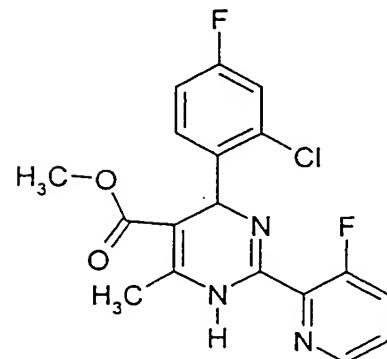
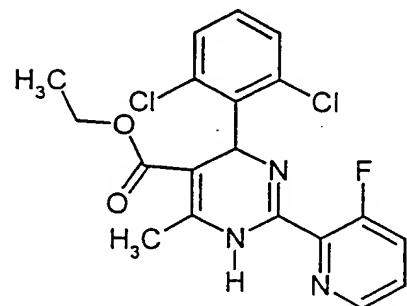
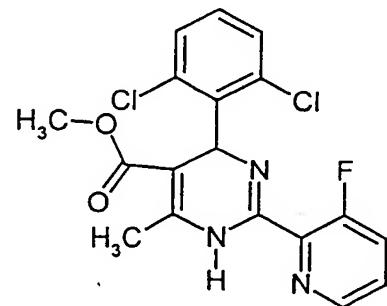
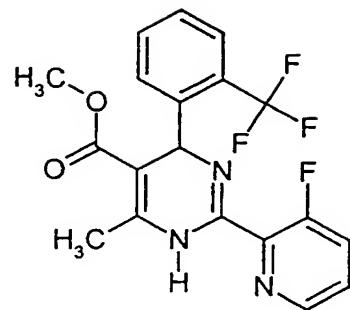
and salts thereof.

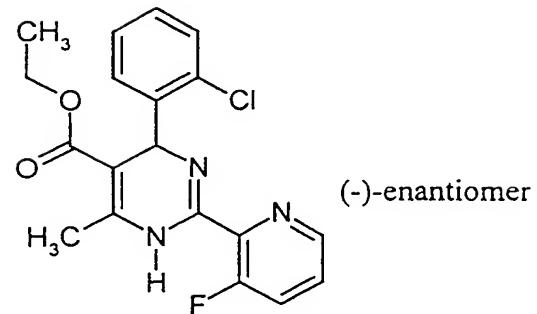
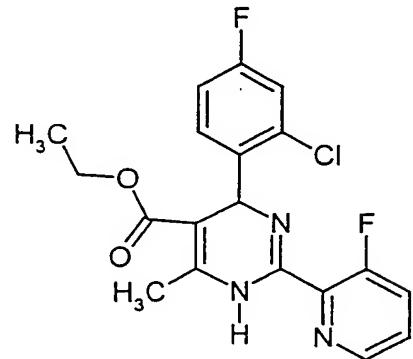
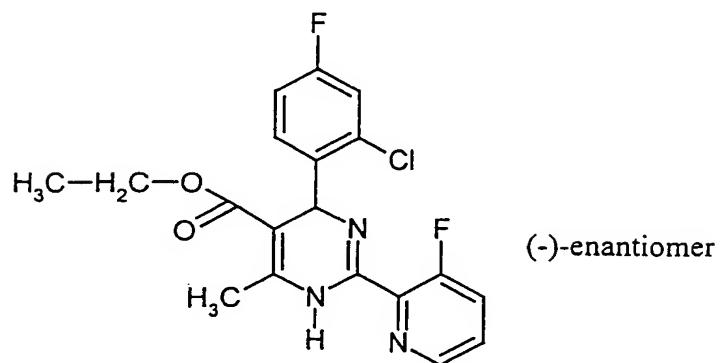
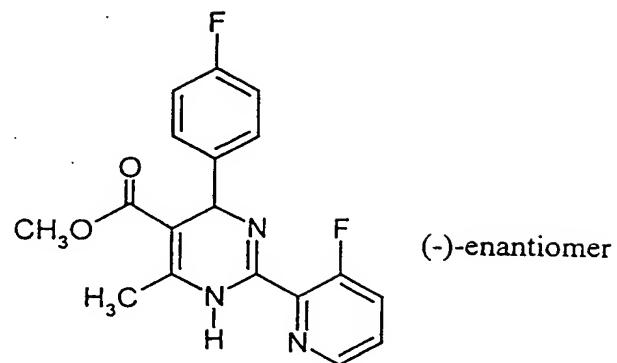
5. Compounds of the general formulae (I) and (Ia) according to any of Claims 1 to 4 in which  $R^5$  represents 2-pyridyl which is substituted by 1 or 2 fluorine atoms.
6. Compounds according to Claim 1 of the structures below

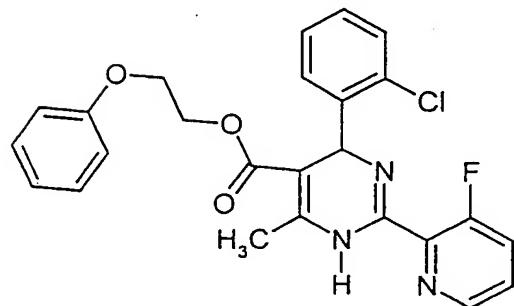
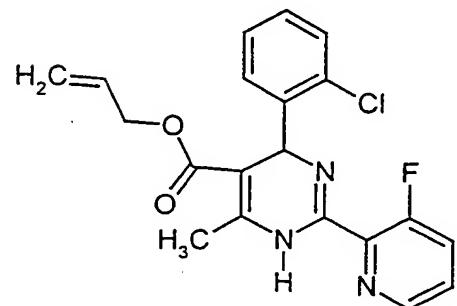
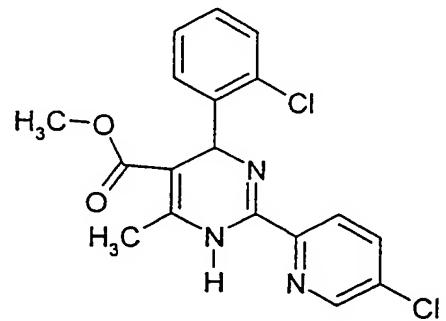
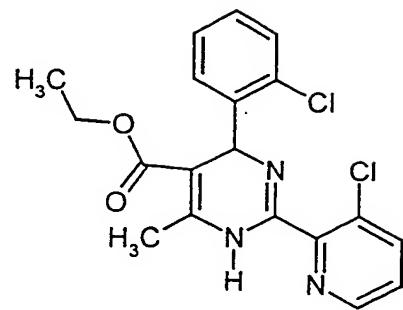


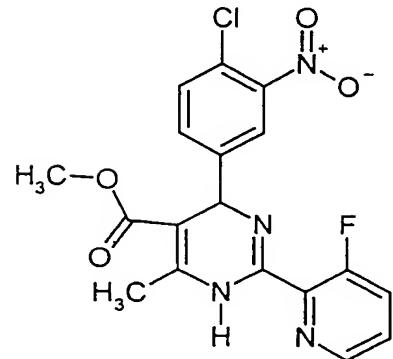
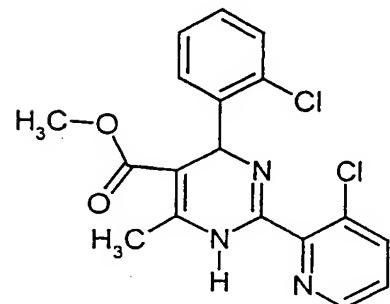
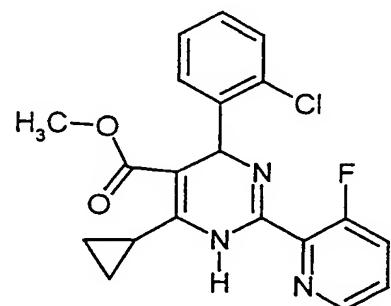
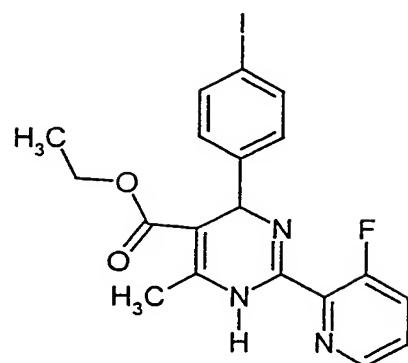


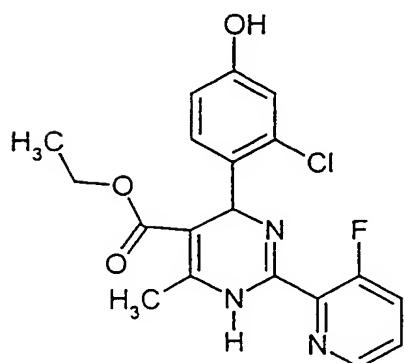
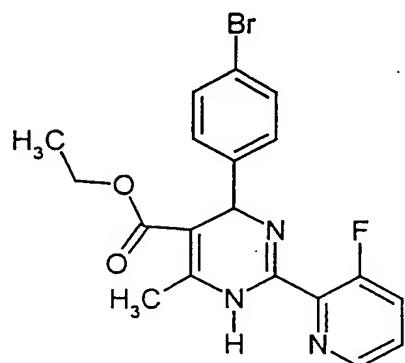
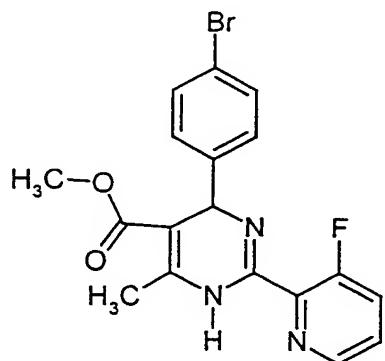
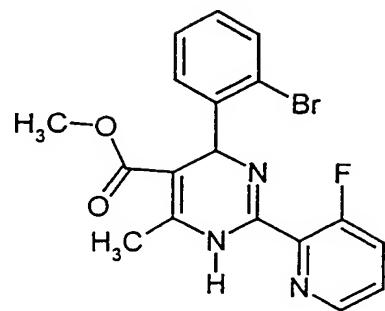


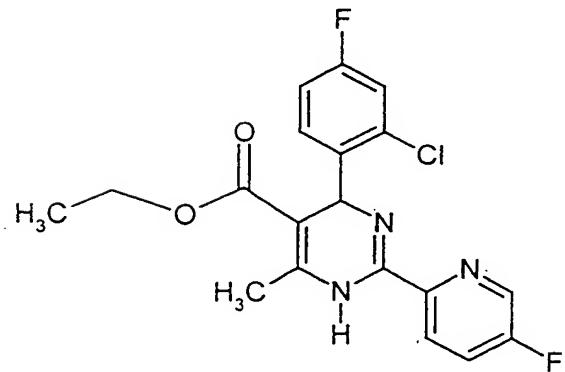
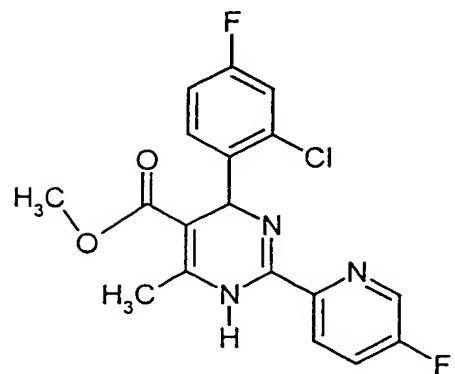
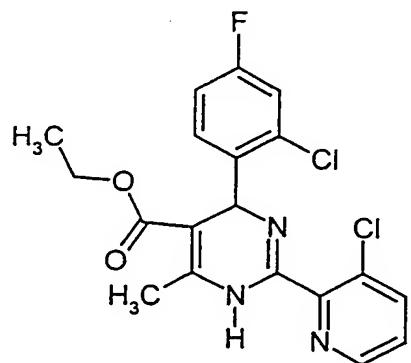
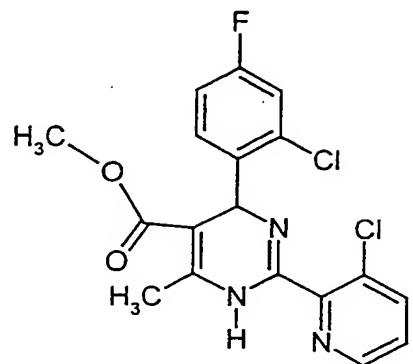


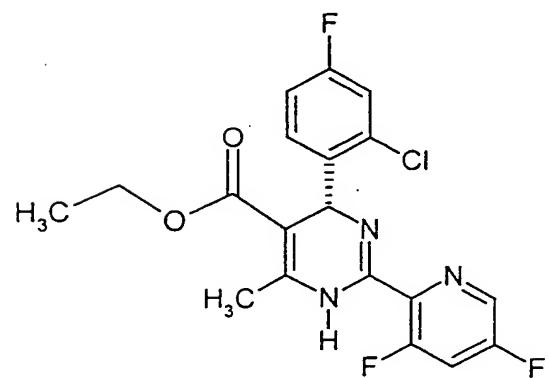
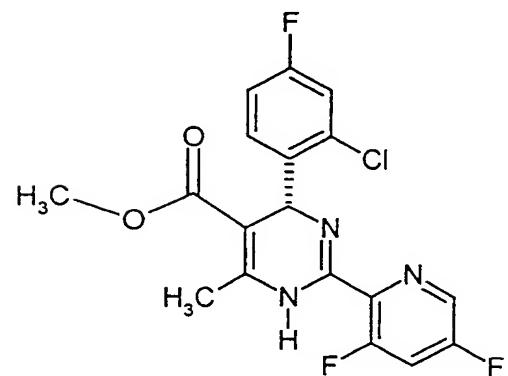
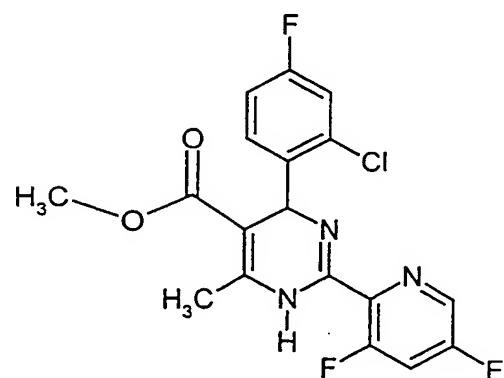
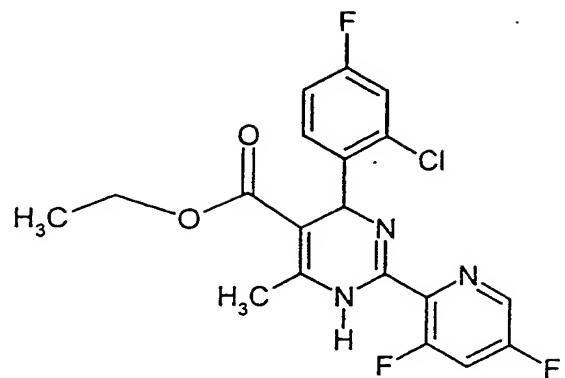


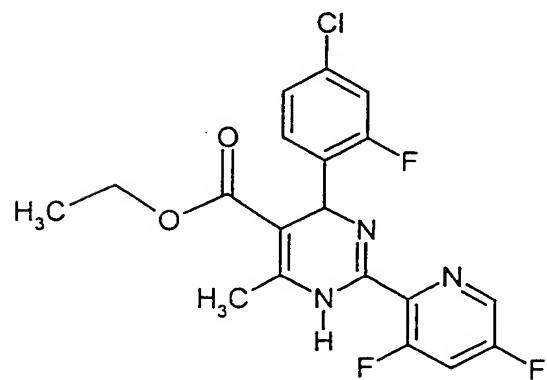
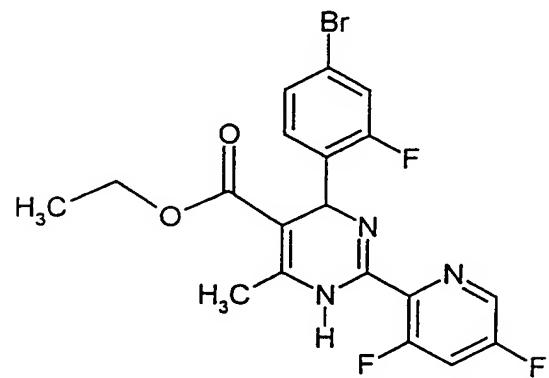
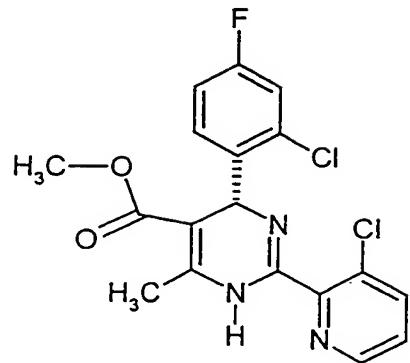












This Page Is Inserted by IFW Operations  
and is not a part of the Official Record

## **BEST AVAILABLE IMAGES**

Defective images within this document are accurate representations of the original documents submitted by the applicant.

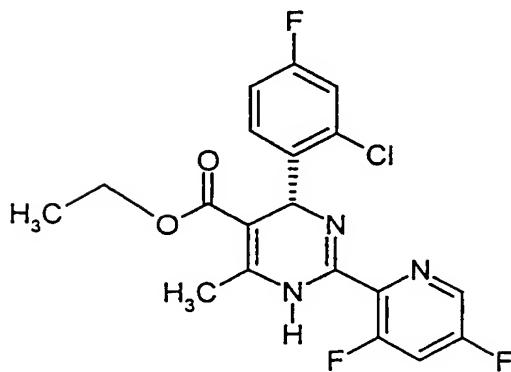
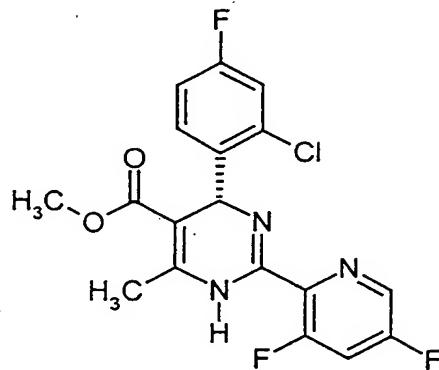
Defects in the images may include (but are not limited to):

- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

**IMAGES ARE BEST AVAILABLE COPY.**

**As rescanning documents *will not* correct images,  
please do not report the images to the  
Image Problem Mailbox.**

7. Compounds according to Claim 1 of the structures below:



or salts thereof.

8. Process for preparing the compounds according to Claims 1 to 7, characterized in that,

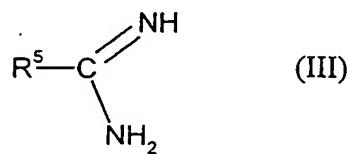
[A] aldehydes of the general formula (II)



in which

$R^1$  is as defined above,

are reacted with amidines or their hydrochlorides of the formula (III)



in which

$\text{R}^5$  is as defined above,

and compounds of the general formula (IV)



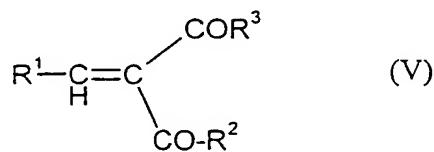
in which

$\text{R}^2$  and  $\text{R}^3$  are each as defined above,

if appropriate in the presence of inert organic solvents, with or without addition of base or acid,

or

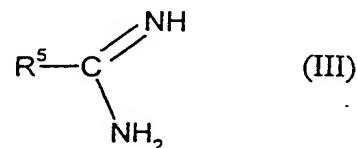
[B] compounds of the general formula (V)



in which

$R^1$ ,  $R^2$  and  $R^3$  are each as defined above,

are reacted with amidines of the general formula (III)



in which

$R^5$  is as defined above,

if appropriate in the presence of inert organic solvents at temperatures between 20°C and 150°C, with or without addition of base or acid,

or

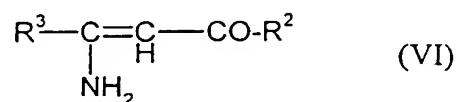
[C] aldehydes of the general formula (II)



in which

$R^1$  is as defined above,

are reacted with compounds of the general formula (VI)



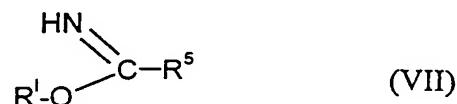
in which

$R^2$  and  $R^3$  are each as defined above,

and amidines of the general formula (III) as described above,

or

[D] aldehydes of the general formula (II) are reacted with compounds of the general formula (IV) and imino ethers of the general formula (VII)



in which

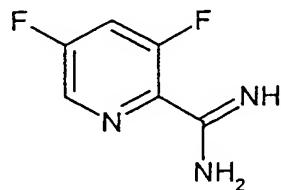
$R^5$  is as defined above,

and

$R'$  represents ( $C_1$ - $C_4$ )-alkyl,

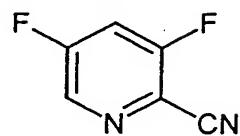
in the presence of ammonium salts.

9. Compound of the formula:



and salts thereof.

10. Compound of the formula:



11. Medicaments, containing at least one compound of the general formula (I) or (Ia) according to one of Claims 1 to 7 and, if appropriate, containing further pharmaceutically active compounds.

12. Process for producing medicaments, characterized in that at least one compound of the general formula (I) or (Ia) according to one of Claims 1 to 7 is converted into a suitable administration form, if appropriate using customary auxiliaries and excipients.

13. Compounds of the general formula (I) or (Ia) according to one of Claims 1 to 7 for use as medicaments.

14. Use of compounds of the general formula (I) or (Ia) according to one of Claims 1 to 7 for producing a medicament.

15. Use of compounds of the general formula (I) or (Ia) according to one of Claims 1 to 7 for producing a medicament for treating acute or chronic viral diseases.

16. Use of compounds of the general formula (I) or (Ia) according to one of Claims 1 to 7 for producing a medicament for treating acute or chronic hepatitis B infections.